TITLE: Preparation of N-heterocyclyl amides and sulfonamides as p38 kinase inhibitors

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PATENT ASSIGNEE(S): Scios Inc., USA

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WO 2005033072	A2	20050414	WO 2004-US32403	20040930 <--
WO 2005033072	A3	20060112		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2540828	A1	20050414	CA 2004-2540828	20040930 <--
EP 1675830	A2	20060705	EP 2004-789449	20040930 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007507529	T	20070329	JP 2006-534154	20040930 <--
US 2006199821	A1	20060907	US 2005-196650	20050803 <--

PRIORITY APPLN. INFO.:

US 2003-507633P	P	20030930 <--
US 2004-957504	A1	20040930
WO 2004-US32403	W	20040930

OTHER SOURCE(S): CASREACT 142:392427; MARPAT 142:392427

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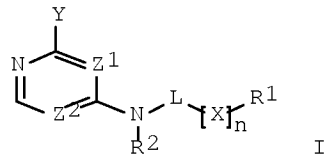

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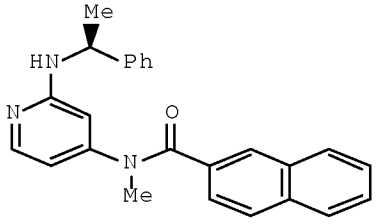

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AB The title compds. I [R1 = alkyl, cycloalkyl, heterocycloalkyl, aryl; L = CO, SO2; X = O, CO, (un)substituted CH2, NH; n = 0-3; R2 = H, alkyl, aryl, etc.; Y = (un)substituted NH2, OH; one of Z1 and Z2 = CH, and the other is either CH or N], useful for inhibiting p38 kinase, were prepared E.g., a multi-step synthesis of (1S)-II, starting from 4-amino-2-chloropyridine and 2-naphthoyl chloride, was given. The compds. I were tested against p38α kinase in the

diluted whole blood assay (biol. data were given for representative compds. I). The pharmaceutical composition comprising the compound I is disclosed.

IT 849745-68-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-heterocyclyl amides and sulfonamides as p38 kinase inhibitors)

RN 849745-68-2 ZCAPLUS

CN 1H-Indole-3-acetamide, N-[(4-fluorophenyl)methyl]-1-methyl-α-oxo-N-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

Absolute stereochemistry.